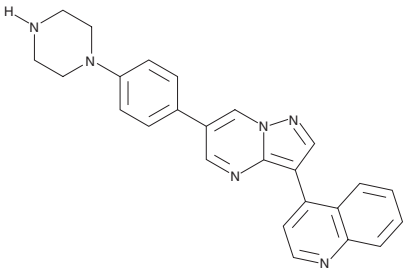
PRODUCT INFORMATION

LDN-193189

Item No. 11802

CAS Registry No.: 1062368-24-4
Formal Name: 4-[6-[4-(1-piperazinyl)phenyl] pyrazolo[1,5-a]pyrimidin-3-yl]-quinoline
MF: $C_{25}H_{22}N_6$
FW: 406.5
Purity: ≥95%
UV/Vis.: λ_{max}: 230, 326 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LDN-193189 is supplied as a crystalline solid. A stock solution may be made by dissolving the LDN-193189 in the solvent of choice. LDN-193189 is soluble in the organic solvent ethanol, with warming and sonication, at a concentration of approximately 0.2 mg/ml.

Description

Normal development and tissue repair are controlled in part by SMADs, a family of intracellular proteins that are activated by signaling *via* serine/threonine kinase receptors of the TGF-β superfamily.[1] LDN-193189 inhibits SMAD1/5/8 phosphorylation by the bone morphogenetic protein (BMP) type I receptors, which are known as activin receptor-like kinases (ALKs), with an IC_{50} value of 4.9 nM.[2] In *in vitro* kinase assays, it shows specificity for ALK1, 2, 3, and 6 (IC_{50}s = 0.8, 0.8, 5.3, and 16.7 nM, respectively) over ALK4 and 5 (IC_{50}s = 101 and 350 nM, respectively).[3] LDN-193189 has been used to inhibit BMP type I receptor activity to study the pathogenesis of fibrodysplasia ossificans progressive, a congenital hyperossification disorder, and to examine the role of osteogenesis in prostate tumor metastases in bone.[4,5]

References

1. Brivanlou, A.H. and Darnell, J.E., Jr. Signal transduction and the control of gene expression. *Science* **295**, 813-818 (2002).
2. Cuny, G.D., Yu, P.B., Laha, J.K., *et al*. Structure-activity relationship study of bone morphogenetic protein (BMP) signaling inhibitors. *Bioorg. Med. Chem. Lett*. **18(15)**, 4388-4392 (2008).
3. Sanvitale, C.E., Kerr, G., Chaikuad, A., *et al*. A new class of small molecule inhibitor of BMP signaling. *PLoS One* **8(4)**, 62721 (2013).
4. Yu, P.B., Deng, D.Y., Lai, C.S., *et al*. BMP type I receptor inhibition reduces heterotopic ossification. *Nat. Med*. **14(12)**, 1363-1369 (2008).
5. Lee, Y.-C., Cheng, C.-J., Bilen, M.A., *et al*. BMP4 promotes prostate tumor growth in bone through osteogenesis. *Cancer Res*. **71(15)**, 5194-5203 (2011).

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